

10/814,525

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NEWS 3 OCT 23 The Derwent World Patents Index suite of databases on STN
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NEWS 6 NOV 10 CA/CAPLUS F-Term thesaurus enhanced
NEWS 7 NOV 10 STN Express with Discover! free maintenance release
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8.01c now available
NEWS 8 NOV 20 CA/CAPLUS to MARPAT accession number crossover limit
increased
to 50,000
NEWS 9 DEC 01 CAS REGISTRY updated with new ambiguity codes
NEWS 10 DEC 11 CAS REGISTRY chemical nomenclature enhanced
NEWS 11 DEC 14 WPIDS/WPINDEX/WPIX manual codes updated
NEWS 12 DEC 14 GBFULL and FRFULL enhanced with IPC 8 features and
functionality
NEWS 13 DEC 18 CA/CAPLUS pre-1967 chemical substance index entries
enhanced
with preparation role
NEWS 14 DEC 18 CA/CAPLUS patent kind codes updated
NEWS 15 DEC 18 MARPAT to CA/CAPLUS accession number crossover limit
increased
to 50,000
NEWS 16 DEC 18 MEDLINE updated in preparation for 2007 reload
NEWS 17 DEC 27 CA/CAPLUS enhanced with more pre-1907 records
NEWS 18 JAN 08 CHEMLIST enhanced with New Zealand Inventory of Chemicals
NEWS 19 JAN 16 CA/CAPLUS Company Name Thesaurus enhanced and reloaded
NEWS 20 JAN 16 IPC version 2007.01 thesaurus available on STN
NEWS 21 JAN 16 WPIDS/WPINDEX/WPIX enhanced with IPC 8 reclassification
data
NEWS 22 JAN 22 CA/CAPLUS updated with revised CAS roles
NEWS 23 JAN 22 CA/CAPLUS enhanced with patent applications from India
NEWS 24 JAN 29 PHAR reloaded with new search and display fields
NEWS 25 JAN 29 CAS Registry Number crossover limit increased to 300,000
in

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multiple databases
NEWS 26 FEB 13 CASREACT coverage to be extended
NEWS 27 Feb 15 PATDPASPC enhanced with Drug Approval numbers
NEWS 28 Feb 15 RUSSIAPAT enhanced with pre-1994 records

NEWS EXPRESS NOVEMBER 10 CURRENT WINDOWS VERSION IS V8.01c, CURRENT
MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
AND CURRENT DISCOVER FILE IS DATED 25 SEPTEMBER 2006.

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* * * * * STN Columbus * * * * *

FILE 'HOME' ENTERED AT 16:01:00 ON 15 FEB 2007

=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 16:01:33 ON 15 FEB 2007

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STRUCTURE FILE UPDATES: 14 FEB 2007 HIGHEST RN 921041-62-5

DICTIONARY FILE UPDATES: 14 FEB 2007 HIGHEST RN 921041-62-5

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TSCA INFORMATION NOW CURRENT THROUGH June 30, 2006

Please note that search-term pricing does apply when
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REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of

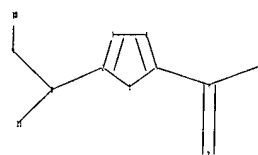
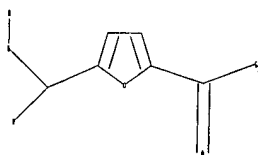
10/814,525

experimental property data in the original document. For information on property searching in REGISTRY, refer to:

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=>

Uploading C:\Program Files\Stnexp\Queries\10814525.str



chain nodes :
6 7 8 9 10 12 13
ring nodes :
1 2 3 4 5
chain bonds :
2-8 5-6 6-7 6-12 8-9 8-13 9-10
ring bonds :
1-2 1-5 2-3 3-4 4-5
exact/norm bonds :
6-7 6-12 8-9
exact bonds :

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1-2 1-5 2-3 2-8 3-4 4-5 5-6 8-13 9-10
isolated ring systems :
containing 1 :

G1:O,N,Cy,Ak

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:CLASS 7:CLASS 8:CLASS 9:CLASS
10:CLASS 12:CLASS 13:CLASS

L1 STRUCTURE UPLOADED

=> s l1

SAMPLE SEARCH INITIATED 16:01:52 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 1787 TO ITERATE

100.0% PROCESSED 1787 ITERATIONS 36 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 33205 TO 38275
PROJECTED ANSWERS: 360 TO 1080

L2 36 SEA SSS SAM L1

=> s l1 ful

FULL SEARCH INITIATED 16:01:58 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 35075 TO ITERATE

100.0% PROCESSED 35075 ITERATIONS 572 ANSWERS
SEARCH TIME: 00.00.01

L3 572 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	172.10	172.31

FILE 'CAPLUS' ENTERED AT 16:02:05 ON 15 FEB 2007
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FILE COVERS 1907 - 15 Feb 2007 VOL 146 ISS 8
FILE LAST UPDATED: 14 Feb 2007 (20070214/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

<http://www.cas.org/infopolicy.html>

=> s 13

L4 105 L3

=> s 14 and amino acid

1105695 AMINO

44 AMINOS

1105713 AMINO

(AMINO OR AMINOS)

4314120 ACID

1568872 ACIDS

4815460 ACID

(ACID OR ACIDS)

703931 AMINO ACID

(AMINO(W)ACID)

L5 12 L4 AND AMINO ACID

=> d 15 ibib hitstr abs 1-12

L5 ANSWER 1 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2006:795651 CAPLUS

DOCUMENT NUMBER: 145:230883

TITLE: Preparation of insulin derivatives

INVENTOR(S): Kodra, Janos Tibor; Garibay, Patrick William;
Hoeg-Jensen, Thomas; Jonassen, Ib; Madsen, Peter;
Tagmose, Tina Moeller

PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.

SOURCE: PCT Int. Appl., 100pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2006082204 A1 20060810 WO 2006-EP50593 20060201
 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
 CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
 GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR,
 KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX,
 MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE,
 SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC,
 VN, YU, ZA, ZM, ZW
 RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
 IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,
 CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,
 GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
 KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.:

DK 2005-157

A 20050202

OTHER SOURCE(S): MARPAT 145:230883

IT 905302-47-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation);

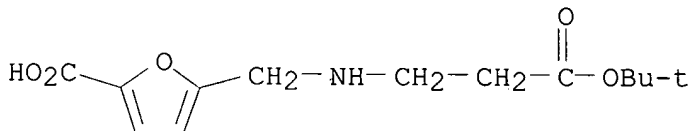
RACT

(Reactant or reagent)

(preparation of insulin derivs.)

RN 905302-47-8 CAPLUS

CN 2-Furancarboxylic acid, 5-[[[3-(1,1-dimethylethoxy)-3-oxopropyl]amino]methyl]- (9CI) (CA INDEX NAME)



AB The invention relates to insulin derivs. having a side chain attached either to the α -amino group of the N-terminal amino acid residue of the B chain or to the ϵ -amino group of a Lys residue present in the B chain of the parent insulin. The side chain comprises at least one aromatic group, at least one free carboxylic acid group or a group which is neg. charged at neutral pH, a fatty acid moiety with 4 to 22 carbon atoms in the carbon chain, and possible linkers which link the individual components in the side chain together via amide bonds. Thus, NεB29-10-(4-carboxyphenylthio)decanoyl- γ -L-glutamyl desB30 human insulin was prepared by coupling of O-protected N-[10-(4-carboxyphenylthio)decanoyl]-L-glutamic acid (preparation given) with human desB30 insulin and showed 101% insulin receptor binding, vs. 100% for human insulin.

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REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR
THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L5 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:1078223 CAPLUS
DOCUMENT NUMBER: 143:347451
TITLE: Synthesis of chiral furan amino
acids as novel peptide building blocks
INVENTOR(S): Chakraborty, Tushar Kanti; Tapadar, Subhasish
PATENT ASSIGNEE(S): India
SOURCE: U.S. Pat. Appl. Publ., 20 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005222088	A1	20051006	US 2004-814525	20040331
WO 2005095371	A1	20051013	WO 2004-IB3528	20041028
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
PRIORITY APPLN. INFO.:	US 2004-814525		A 20040331	

OTHER SOURCE(S): CASREACT 143:347451; MARPAT 143:347451

IT 828259-68-3P 828259-69-4P 828259-70-7P
828259-72-9P 828259-74-1P 828259-76-3P
866045-72-9P 866045-99-0P 866046-01-7P
866046-02-8P 866046-03-9P 866046-05-1P
866046-07-3P 866046-08-4P 866046-10-8P
866046-11-9P 866046-12-0P 866046-14-2P
866046-16-4P 866046-17-5P 866046-19-7P
866046-20-0P 866046-21-1P 866046-23-3P
866046-25-5P

RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP
(Preparation)
(synthesis of chiral furan amino acids as novel
peptide building blocks)

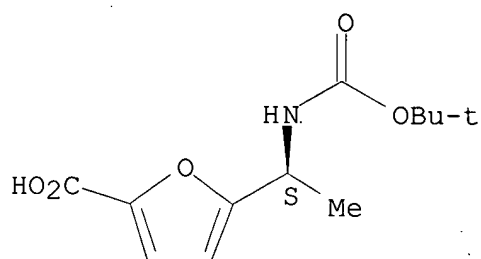
RN 828259-68-3 CAPLUS

CN 2-Furancarboxylic acid,
5-[(1S)-1-[(1,1-dimethylethoxy)carbonyl]amino]eth

10/814,525

yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

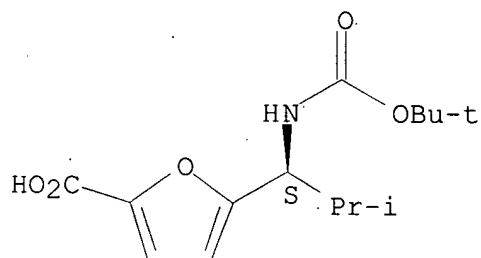


RN 828259-69-4 CAPLUS

CN 2-Furancarboxylic acid,

5-[(1S)-1-[(1,1-dimethylethoxy)carbonyl]amino]-2-methylpropyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

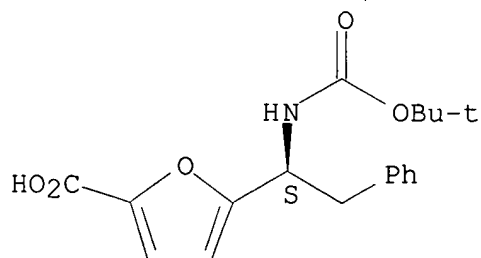


RN 828259-70-7 CAPLUS

CN 2-Furancarboxylic acid,

5-[(1S)-1-[(1,1-dimethylethoxy)carbonyl]amino]-2-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 828259-72-9 CAPLUS

CN 2-Furancarboxylic acid, 5-[(1S)-1-aminoethyl]-, trifluoroacetate (9CI) (CA INDEX NAME)

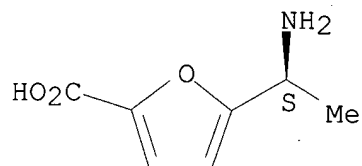
10/814,525

CM 1

CRN 828259-71-8

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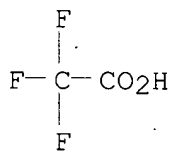
Absolute stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 828259-74-1 CAPLUS

CN 2-Furancarboxylic acid, 5-[(1S)-1-amino-2-methylpropyl]-,
trifluoroacetate

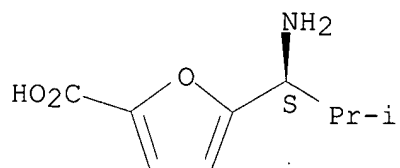
(9CI) (CA INDEX NAME)

CM 1

CRN 828259-73-0

CMF C9 H13 N O3

Absolute stereochemistry.

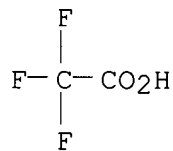


CM 2

CRN 76-05-1

CMF C2 H F3 O2

10/814,525

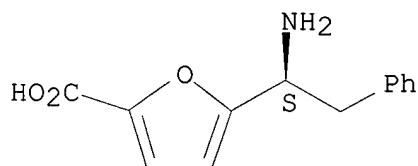


RN 828259-76-3 CAPLUS
CN 2-Furancarboxylic acid, 5-[(1S)-1-amino-2-phenylethyl]-,
trifluoroacetate
(9CI) (CA INDEX NAME)

CM 1

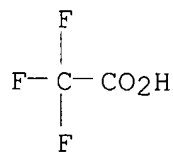
CRN 828259-75-2
CMF C13 H13 N O3

Absolute stereochemistry.



CM 2

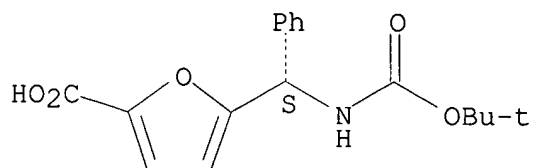
CRN 76-05-1
CMF C2 H F3 O2



RN 866045-72-9 CAPLUS
CN 2-Furancarboxylic acid,
5-[(S)-[[(1,1-dimethylethoxy)carbonyl]amino]phenyl
methyl]- (9CI) (CA INDEX NAME)

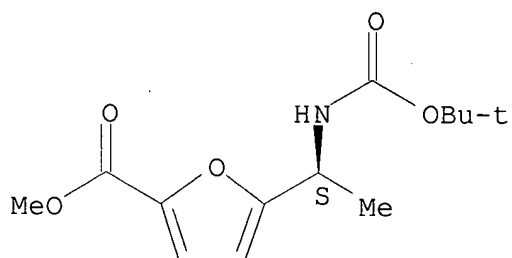
Absolute stereochemistry.

10/814,525



RN 866045-99-0 CAPLUS
CN 2-Furancarboxylic acid,
5-[(1S)-1-[(1,1-dimethylethoxy)carbonyl]amino]eth
yl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



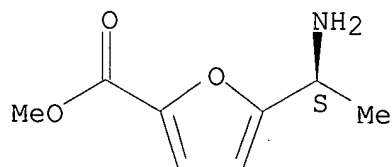
RN 866046-01-7 CAPLUS
CN 2-Furancarboxylic acid, 5-[(1S)-1-aminoethyl]-, methyl ester,
trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

CRN 866046-00-6

CMF C8 H11 N O3

Absolute stereochemistry.

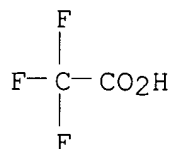


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CRN 76-05-1

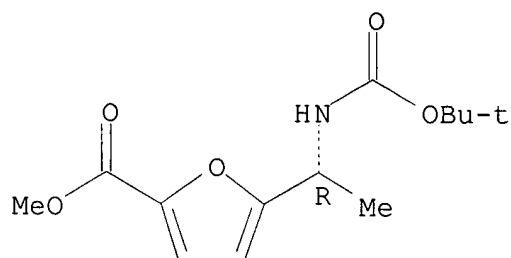
CMF C2 H F3 O2

10/814,525



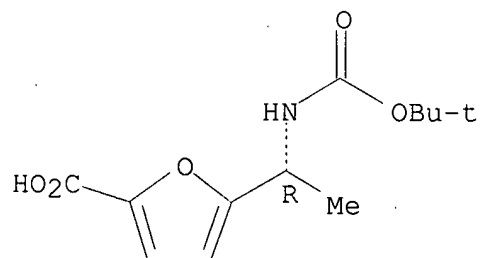
RN 866046-02-8 CAPLUS
CN 2-Furancarboxylic acid,
5-[(1R)-1-[(1,1-dimethylethoxy)carbonyl]amino]eth
yl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 866046-03-9 CAPLUS
CN 2-Furancarboxylic acid,
5-[(1R)-1-[(1,1-dimethylethoxy)carbonyl]amino]eth
yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



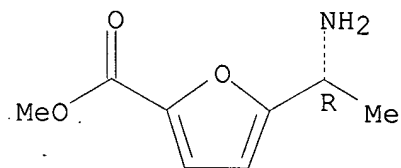
RN 866046-05-1 CAPLUS
CN 2-Furancarboxylic acid, 5-[(1R)-1-aminoethyl]-, methyl ester,
trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

CRN 866046-04-0
CMF C8 H11 N O3

Absolute stereochemistry.

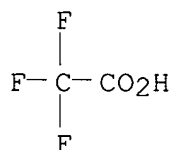
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CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 866046-07-3 CAPLUS

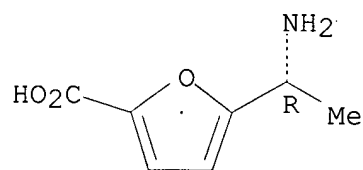
CN 2-Furancarboxylic acid, 5-[(1R)-1-aminoethyl]-, trifluoroacetate (9CI)
(CA INDEX NAME)

CM 1

CRN 866046-06-2

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Absolute stereochemistry.

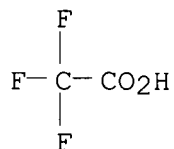


CM 2

CRN 76-05-1

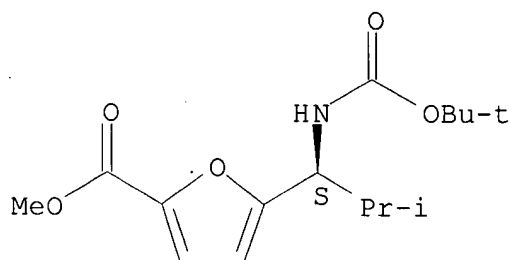
CMF C2 H F3 O2

10/814,525



RN 866046-08-4 CAPLUS
CN 2-Furancarboxylic acid,
5-[(1S)-1-[[[(1,1-dimethylethoxy)carbonyl]amino]-2-
methylpropyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

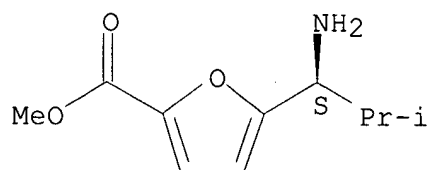


RN 866046-10-8 CAPLUS
CN 2-Furancarboxylic acid, 5-[(1S)-1-amino-2-methylpropyl]-, methyl ester,
trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

CRN 866046-09-5
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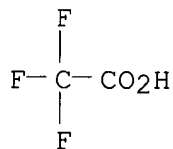
Absolute stereochemistry.



CM 2

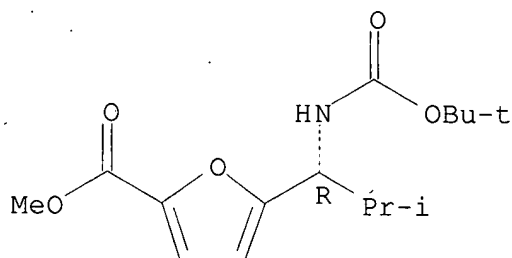
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CMF C2 H F3 O2

10/814,525



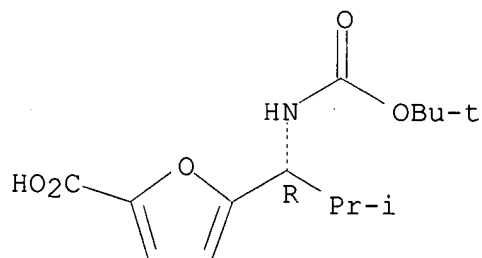
RN 866046-11-9 CAPLUS
CN 2-Furancarboxylic acid,
5-[(1R)-1-[[[(1,1-dimethylethoxy)carbonyl]amino]-2-
methylpropyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 866046-12-0 CAPLUS
CN 2-Furancarboxylic acid,
5-[(1R)-1-[[[(1,1-dimethylethoxy)carbonyl]amino]-2-
methylpropyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



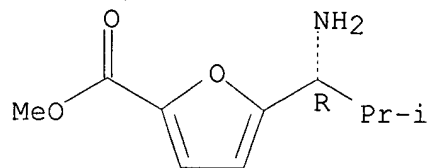
RN 866046-14-2 CAPLUS
CN 2-Furancarboxylic acid, 5-[(1R)-1-amino-2-methylpropyl]-, methyl ester,
trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

CRN 866046-13-1
CMF C10 H15 N O3

Absolute stereochemistry.

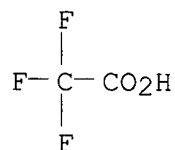
10/814,525



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 866046-16-4 CAPLUS

CN 2-Furancarboxylic acid, 5-[(1R)-1-amino-2-methylpropyl]-,
trifluoroacetate

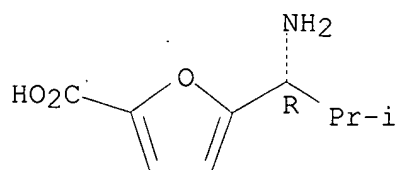
(9CI) (CA INDEX NAME)

CM 1

CRN 866046-15-3

CMF C9 H13 N O3

Absolute stereochemistry.

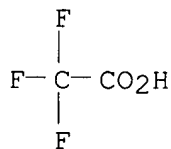


CM 2

CRN 76-05-1

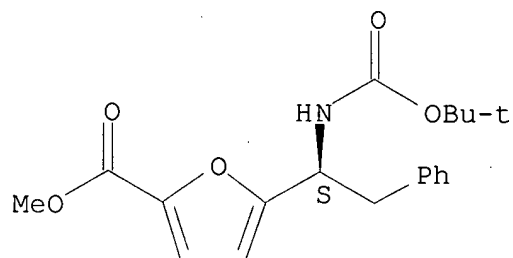
CMF C2 H F3 O2

10/814,525



RN 866046-17-5 CAPLUS
CN 2-Furancarboxylic acid,
5-[(1S)-1-[(1,1-dimethylethoxy)carbonyl]amino]-2-
phenylethyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

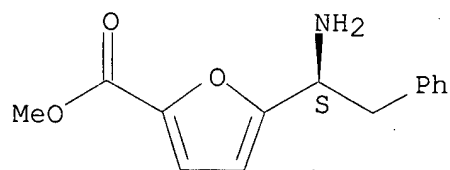


RN 866046-19-7 CAPLUS
CN 2-Furancarboxylic acid, 5-[(1S)-1-amino-2-phenylethyl]-, methyl ester,
trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

CRN 866046-18-6
CMF C14 H15 N O3

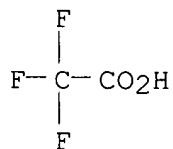
Absolute stereochemistry.



CM 2

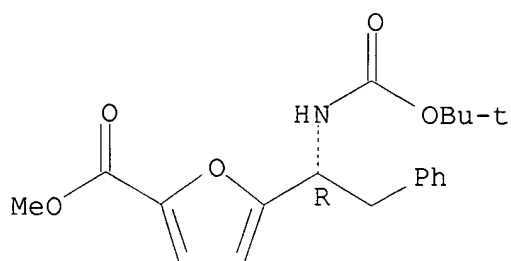
CRN 76-05-1
CMF C2 H F3 O2

10/814,525



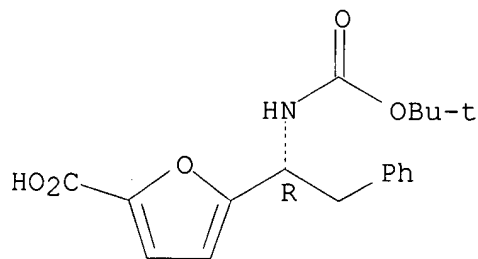
RN 866046-20-0 CAPLUS
CN 2-Furancarboxylic acid,
5-[(1R)-1-[(1,1-dimethylethoxy)carbonyl]amino]-2-
phenylethyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 866046-21-1 CAPLUS
CN 2-Furancarboxylic acid,
5-[(1R)-1-[(1,1-dimethylethoxy)carbonyl]amino]-2-
phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



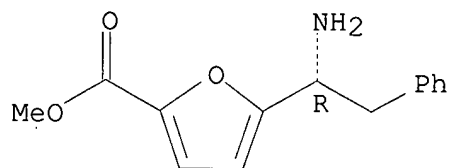
RN 866046-23-3 CAPLUS
CN 2-Furancarboxylic acid, 5-[(1R)-1-amino-2-phenylethyl]-, methyl ester,
trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

CRN 866046-22-2
CMF C14 H15 N O3

Absolute stereochemistry.

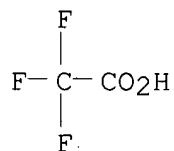
10/814,525



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 866046-25-5 CAPLUS

CN 2-Furancarboxylic acid, 5-[(1R)-1-amino-2-phenylethyl]-,
trifluoroacetate

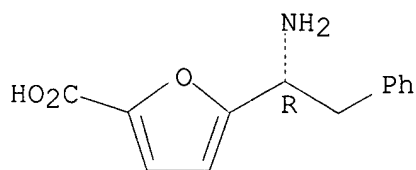
(9CI) (CA INDEX NAME)

CM 1

CRN 866046-24-4

CMF C13 H13 N O3

Absolute stereochemistry.

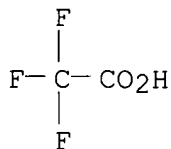


CM 2

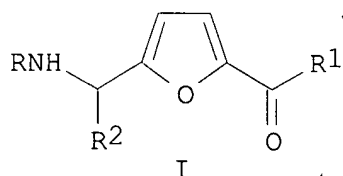
CRN 76-05-1

CMF C2 H F3 O2

10/814,525



GI



AB The invention provides chiral furan amino acids I (R = H, including HCl and CF₃CO₂H salts, Ac, Boc, Cbz, Fmoc; R₁ = OH, alkoxy, an amino group, etc.; R₂ = amino acid side chain), an important class of conformationally-constrained peptide-based mols. that

can be used as dipeptide isosteres in peptidomimetic studies. I were prepared from N-terminal-protected amino aldehydes derived from the corresponding N-terminal-protected protected L- or D-amino acids. Thus, (S)-I (R = Boc, R₁ = OH, R₂ = Me) was prepared by a multistep sequence starting with condensation of Boc-L-alaninal with 3,4-O-isopropylidene-1,1-dibromo-1-butene-3,4-diol.

L5 ANSWER 3 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:674799 CAPLUS

DOCUMENT NUMBER: 143:306538

TITLE: Furanoid sugar amino acids as dipeptide mimics in design of analogs of vasoactive intestinal peptide receptor binding inhibitor

AUTHOR(S): Prasad, S.; Mathur, A.; Jaggi, M.; Sharma, R.; Gupta,

Kumar, N.; Reddy, V. R.; Sudhakar, G.; Kumar, S. U.;

S. K.; Kunwar, A. C.; Chakraborty, T. K. Dabur Research Foundation, Sahibabad, India

SOURCE: Journal of Peptide Research (2005), 66(2), 75-84 CODEN: JPERFA; ISSN: 1397-002X

PUBLISHER: Blackwell Publishing Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

IT 840540-68-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL

10/814,525

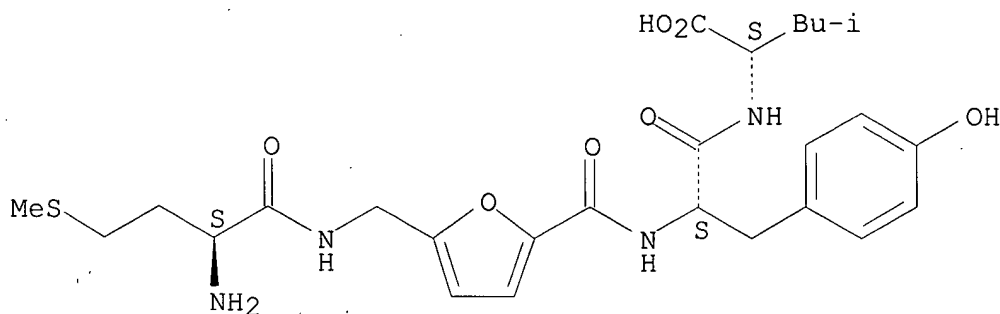
(Biological study); PREP (Preparation)

(preparation of furanoid sugar amino acid-containing peptides, and evaluation of their in vitro anticancer activity)

RN 840540-68-3 CAPLUS

CN L-Leucine, L-methionyl-5-(aminomethyl)-2-furancarboxyl-L-tyrosyl- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.



IT 934-65-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation);

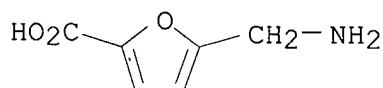
RACT

(Reactant or reagent)

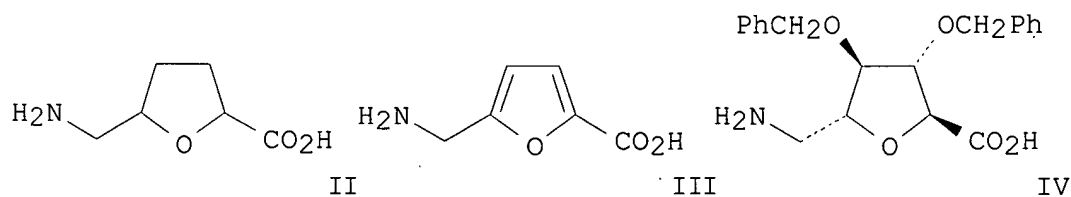
(preparation of furanoid sugar amino acid-containing peptides, and evaluation of their in vitro anticancer activity)

RN 934-65-6 CAPLUS

CN 2-Furancarboxylic acid, 5-(aminomethyl)- (9CI) (CA INDEX NAME)



GI



AB This study describes the development of peptidomimetic analogs of the potent vasoactive intestinal peptide (VIP) receptor binding inhibitor, Leu1-Met2-Tyr3-Pro4-Thr5-Tyr6-Leu7-Lys8-OH (I), by incorporating furanoid

10/814,525

sugar amino acids II (cis isomers), III and IV into the peptide. II-IV were used as dipeptide isosteres to replace Tyr3-Pro4 or Pro4-Thr5 in sequence I. The resulting peptides were tested for their anticancer activities in vitro, following the standard MTT assay on a panel of human cancer cell lines. One of the potent analogs was tested in vivo for tumor regression on primary colon tumor xenografted nude mice. These exptl. results suggest that majority of these analogs show either retention or enhancement of biol. activity.

REFERENCE COUNT: 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L5 ANSWER 4 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:122788 CAPLUS

DOCUMENT NUMBER: 142:219563

TITLE: Preparation of novel peptides comprising furanoid sugar amino acids for the treatment of cancer

INVENTOR(S): Prasad, Sudhanand; Chakraborty, Tushar Kanti; Mathur,

Archna; Jaggi, Manu; Kunwar, Ajit Chand; Mukherjee, Rama; Burman, Anand C.

PATENT ASSIGNEE(S): Dabur Research Foundation, USA

SOURCE: U.S. Pat. Appl. Publ., 20 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005032707	A1	20050210	US 2003-638107	20030808
US 7060678	B2	20060613		

PRIORITY APPLN. INFO.: US 2003-638107 20030808

OTHER SOURCE(S): MARPAT 142:219563

IT 840540-68-3P 840540-71-8P 840540-72-9P

840540-73-0P 840540-76-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

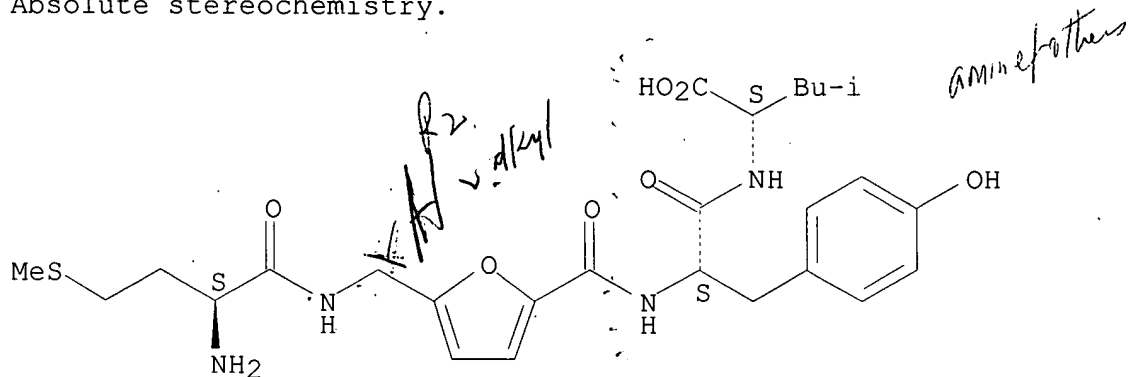
(preparation of peptides comprising furanoid sugar amino acids for treatment of cancer)

RN 840540-68-3 CAPLUS

CN L-Leucine, L-methionyl-5-(aminomethyl)-2-furancarbonyl-L-tyrosyl- (9CI)
(CA INDEX NAME)

10/814,525

Absolute stereochemistry.

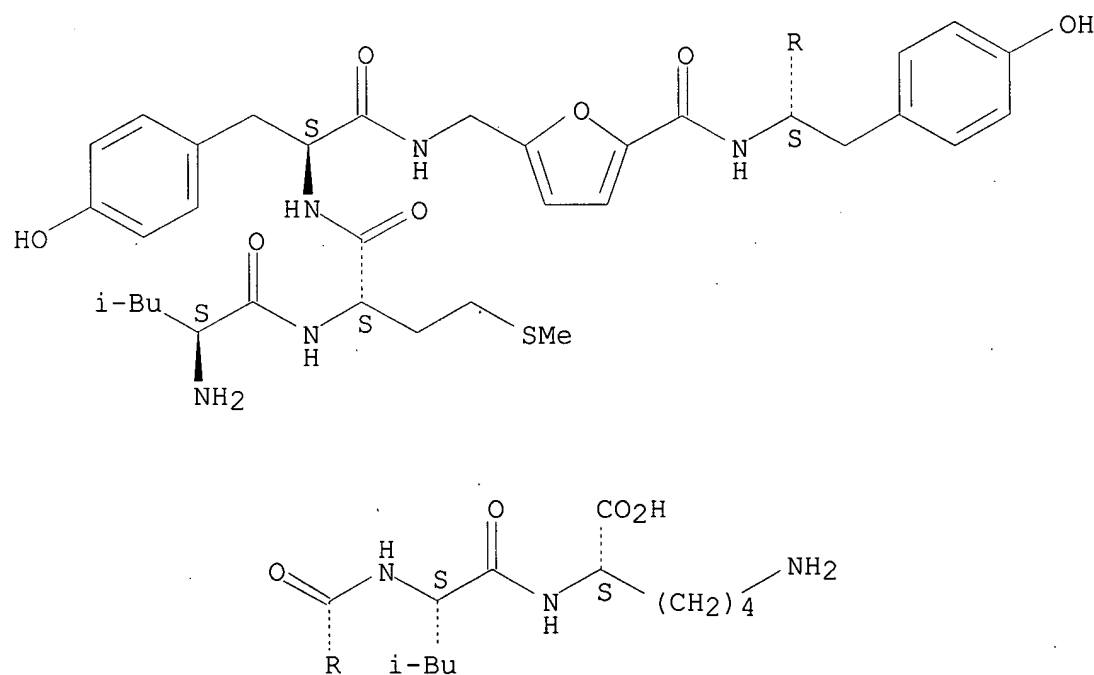


RN 840540-71-8 CAPLUS

CN L-Lysine,

L-leucyl-L-methionyl-L-tyrosyl-5-(aminomethyl)-2-furancarboxyl-L-tyrosyl-L-leucyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



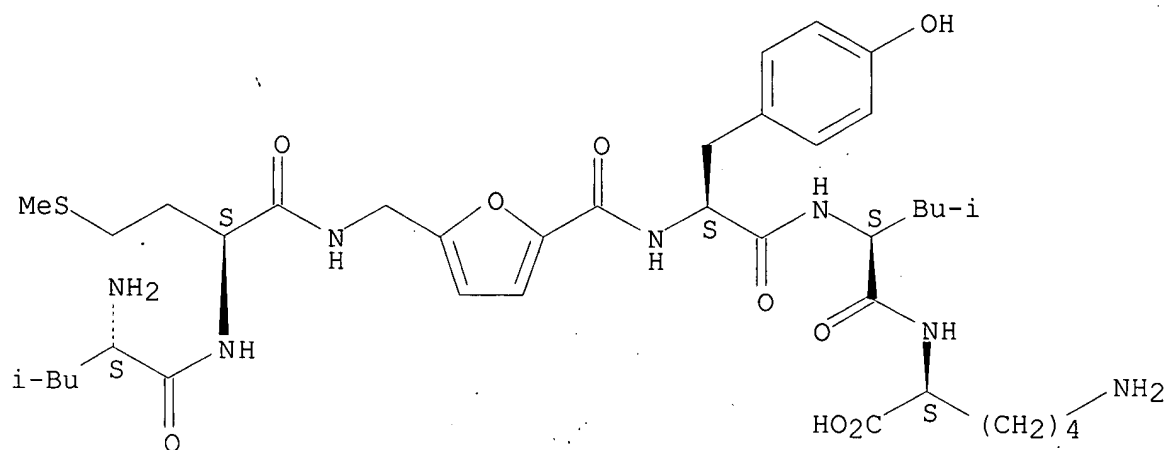
RN 840540-72-9 CAPLUS

CN L-Lysine,

L-leucyl-L-methionyl-5-(aminomethyl)-2-furancarboxyl-L-tyrosyl-L-leucyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

10/814,525



RN 840540-73-0 CAPLUS

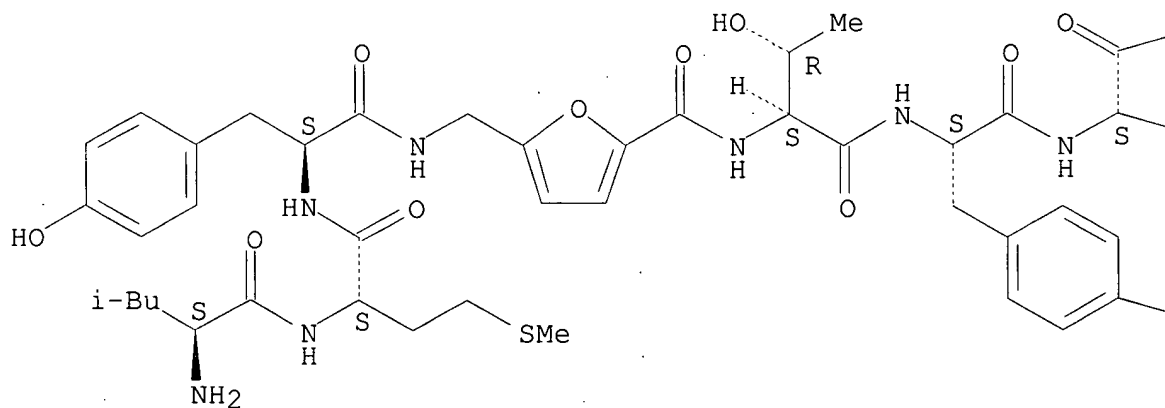
CN L-Lysine,

L-leucyl-L-methionyl-L-tyrosyl-5-(aminomethyl)-2-furancarboxyl-L-threonyl-L-tyrosyl-L-leucyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

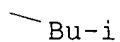
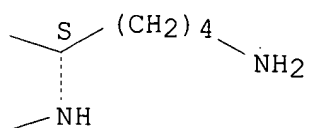
PAGE 1-A

HO2C—



10/814,525

PAGE 1-B



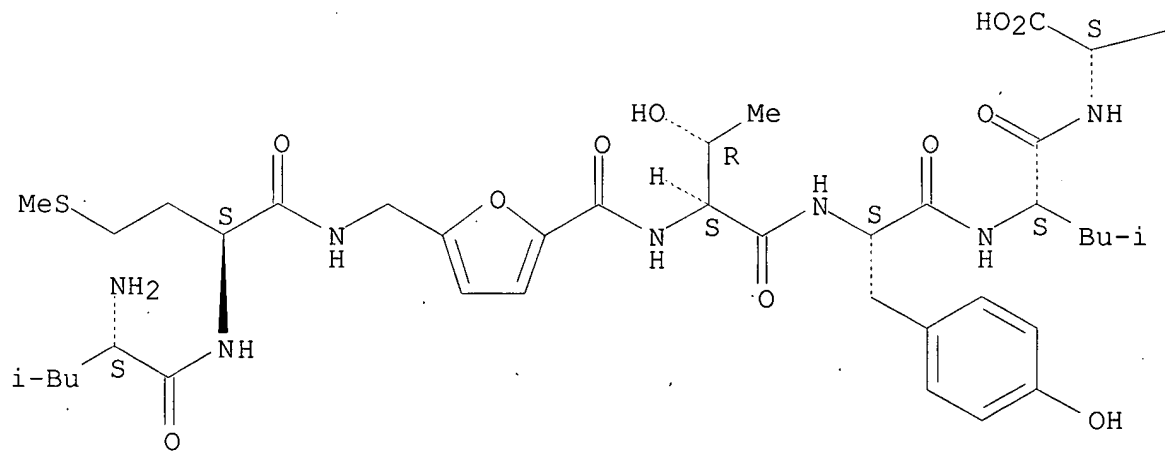
RN 840540-76-3 CAPLUS

CN L-Lysine,

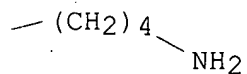
L-leucyl-L-methionyl-5-(aminomethyl)-2-furancarboxyl-L-threonyl-
L-tyrosyl-L-leucyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



IT 934-65-6P 160938-85-2P 432550-39-5P
840540-55-8P

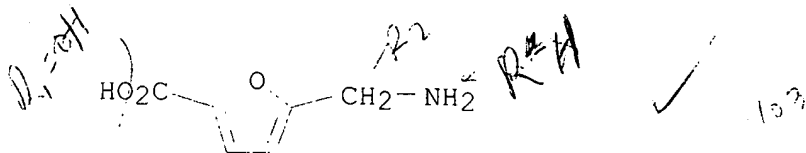
10/814,525

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation);
RACT (Reactant or reagent)

(preparation of peptides comprising furanoid sugar amino
acids for treatment of cancer)

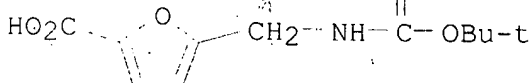
RN 934-65-6 CAPLUS

CN 2-Furancarboxylic acid, 5-(aminomethyl)- (9CI) (CA INDEX NAME)



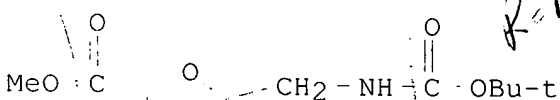
RN 160938-85-2 CAPLUS

CN 2-Furancarboxylic acid,
5-[[[(1,1-dimethylethoxy)carbonyl]amino]methyl]-
(9CI) (CA INDEX NAME)



RN 432550-39-5 CAPLUS

CN 2-Furancarboxylic acid,
5-[[[(1,1-dimethylethoxy)carbonyl]amino]methyl]-,
methyl ester (9CI) (CA INDEX NAME)



RN 840540-55-8 CAPLUS

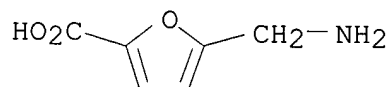
CN 2-Furancarboxylic acid, 5-(aminomethyl)-, trifluoroacetate (9CI) (CA
INDEX NAME)

CM 1

CRN 934-65-6

CMF C6 H7 N O3

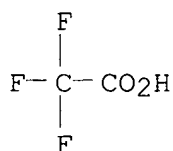
10/814,525



CM 2

CRN 76-05-1

CMF C2 H F3 O2



AB The invention relates to title anticancer peptides

X-Met-A1-A2-A3-Tyr-Leu-

Y [X is Leu or deleted, Y is Lys or deleted, A1 is Tyr or a furanoid sugar

amino acid, A2 is Pro or a furanoid sugar amino

acid, A3 is Thr or a furanoid sugar amino acid

; A1-A2-A3, A1-A2, A2-A3 or A2 may be replaced by a furanoid sugar amino acid acid] and their pharmaceutically-acceptable

salts and to methods for synthesis of the peptides and the furanoid

sugar

amino acids. Thus, Met-Saal-Tyr-Leu [Saal is a

5-(aminomethyl)-2-furancarboxylic acid residue] was prepared by the solid-phase method and assayed for percent cytotoxicity on various

human

tumor cell lines.

REFERENCE COUNT:

3

THERE ARE 3 CITED REFERENCES AVAILABLE FOR

THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L5 ANSWER 5 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2004:1062611 CAPLUS

DOCUMENT NUMBER: 142:156308

TITLE: Cyclic trimers of chiral furan amino acids

AUTHOR(S): Chakraborty, Tushar K.; Tapadar, Subhasish; Raju, T.

CORPORATE SOURCE: Venugopal; Annapurna, J.; Singh, Harjinder
Indian Institute of Chemical Technology, Hyderabad,
500 007, India

SOURCE: Synlett (2004), (14), 2484-2488

CODEN: SYNLES; ISSN: 0936-5214

Acid.
8/19/04
Publis Nov. 2004

10/814,525

PUBLISHER: Georg Thieme Verlag
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 142:156308
IT 828259-68-3P 828259-69-4P 828259-70-7P
828259-72-9P 828259-74-1P 828259-76-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation);
RACT

(Reactant or reagent)

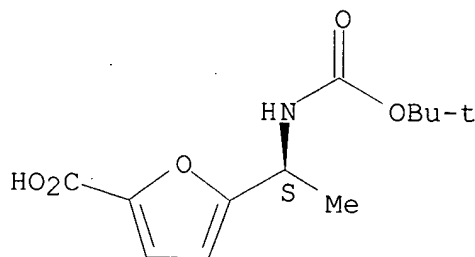
(preparation of cyclic tripeptides from chiral furan amino acids by cyclooligomerization, their structures, complexation with tetrabutylammonium salts and antimicrobial activity)

RN 828259-68-3 CAPLUS

CN 2-Furancarboxylic acid,

5-[(1S)-1-[[[(1,1-dimethylethoxy)carbonyl]amino]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

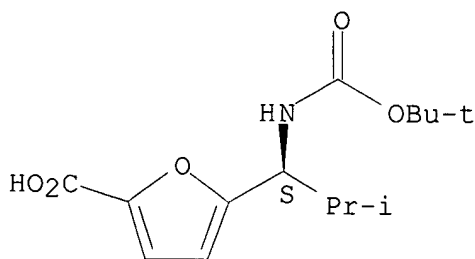


RN 828259-69-4 CAPLUS

CN 2-Furancarboxylic acid,

5-[(1S)-1-[[[(1,1-dimethylethoxy)carbonyl]amino]-2-methylpropyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



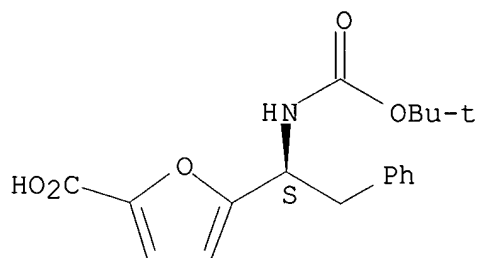
RN 828259-70-7 CAPLUS

CN 2-Furancarboxylic acid,

5-[(1S)-1-[[[(1,1-dimethylethoxy)carbonyl]amino]-2-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

10/814,525



RN 828259-72-9 CAPLUS

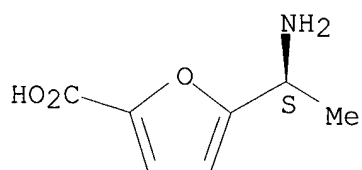
CN 2-Furancarboxylic acid, 5-[(1S)-1-aminoethyl]-, trifluoroacetate (9CI)
(CA INDEX NAME)

CM 1

CRN 828259-71-8

CMF C7 H9 N O3

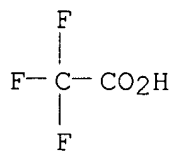
Absolute stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 828259-74-1 CAPLUS

CN 2-Furancarboxylic acid, 5-[(1S)-1-amino-2-methylpropyl]-,
trifluoroacetate
(9CI) (CA INDEX NAME)

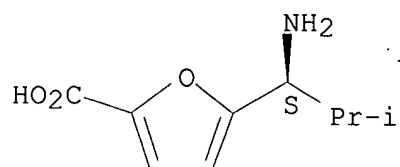
CM 1

CRN 828259-73-0

CMF C9 H13 N O3

10/814,525

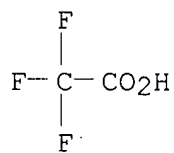
Absolute stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 828259-76-3 CAPLUS

CN 2-Furancarboxylic acid, 5-[(1S)-1-amino-2-phenylethyl]-,
trifluoroacetate

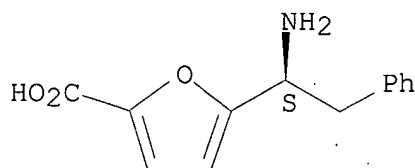
(9CI) (CA INDEX NAME)

CM 1

CRN 828259-75-2

CMF C13 H13 N O3

Absolute stereochemistry.

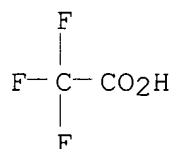


CM 2

CRN 76-05-1

CMF C2 H F3 O2

10/814,525



AB Chiral furan amino acids were synthesized as novel peptide building blocks. Cyclooligomerization of these monomers by a single-step process led to the selective formation of chiral C3-sym. cyclic trimers, which were studied for their structures and properties, like anion binding and antimicrobial activities.

REFERENCE COUNT: 70 THERE ARE 70 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L5 ANSWER 6 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2002:530862 CAPLUS

DOCUMENT NUMBER: 137:348153

TITLE: A new pseudopeptide motif for designing specific DNA-binding compounds capable of recognizing long DNA

sequences

AUTHOR(S): Nikitin, A. M.; Rodin, S. A.; Pis'menskii, V. F.; Surovaya, A. N.; Gursky, G. V.

CORPORATE SOURCE: Engelhardt Institute of Molecular Biology, Russian Academy of Sciences, Moscow, 119991, Russia

SOURCE: Doklady Biochemistry and Biophysics (2002), 384, 167-171

CODEN: DBBOAL; ISSN: 1607-6729

PUBLISHER: MAIK Nauka/Interperiodica Publishing

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 137:348153

IT 474380-11-5P

RL: BSU (Biological study, unclassified); SPN (Synthetic preparation);

BIOL (Biological study); PREP (Preparation)

(new pseudopeptide motif for designing specific DNA-binding compds. capable of recognizing long DNA sequences)

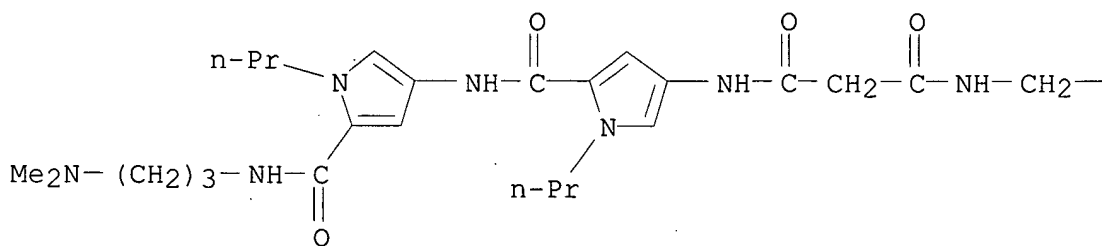
RN 474380-11-5 CAPLUS

CN Propanediamide, N-[5-[[[5-[[[3-(dimethylamino)propyl]amino]carbonyl]-1-propyl-1H-pyrrol-3-yl]amino]carbonyl]-1-propyl-1H-pyrrol-3-yl]-N'-[[5-[[[2-[[[5-[[[5-[[[5-[[[3-(dimethylamino)propyl]amino]carbonyl]-1-propyl-1H-pyrrol-3-yl]amino]carbonyl]-1-propyl-1H-pyrrol-3-yl]amino]carbonyl]-2-furanyl]methyl]amino]-2-oxoethyl]amino]carbonyl]-2-furanyl]methyl]-

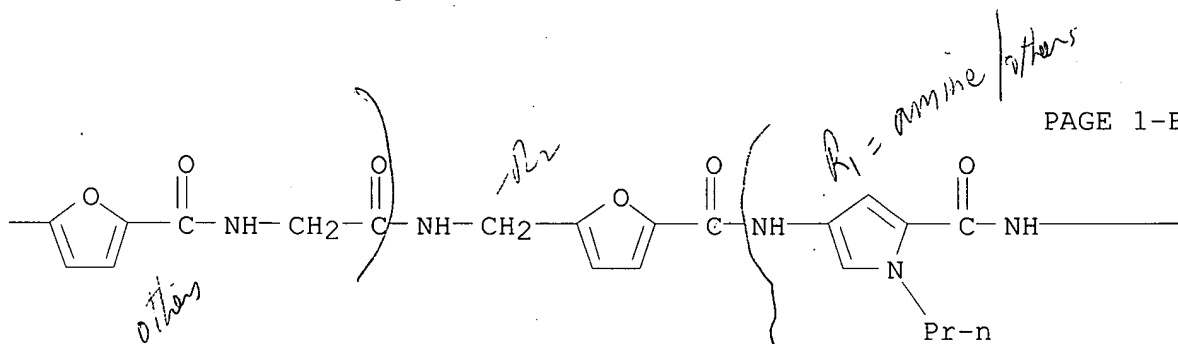
(9CI)

(CA INDEX NAME)

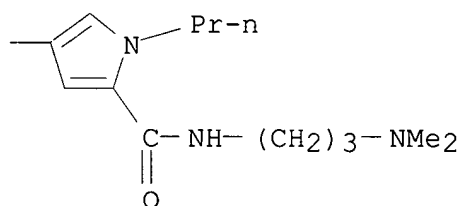
PAGE 1-A



PAGE 1-B

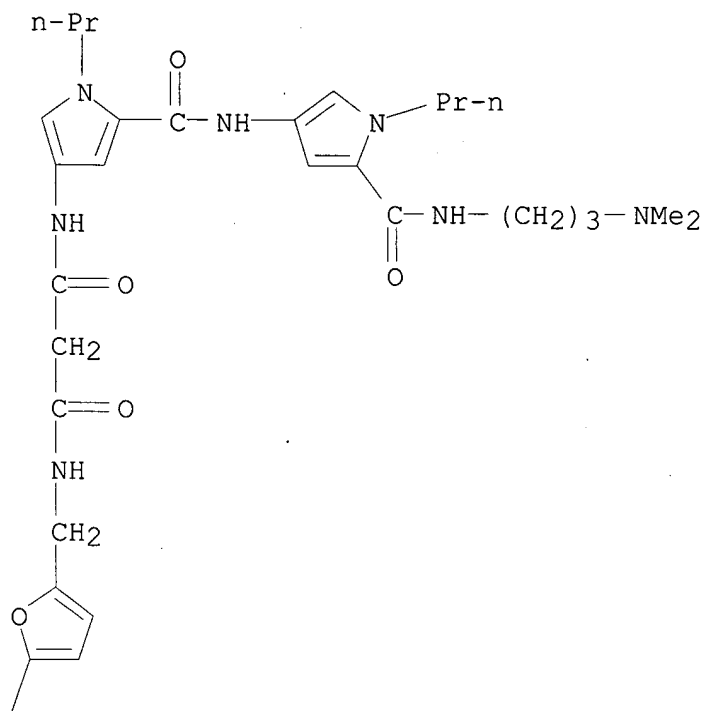


PAGE 1-C

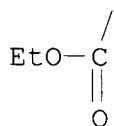


IT 474380-09-1 474380-10-4
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (new pseudopeptide motif for designing specific DNA-binding compds.
 capable of recognizing long DNA sequences)
 RN 474380-09-1 CAPLUS
 CN 2-Furancarboxylic acid,
 5-[[[3-[[5-[[[5-[[[3-(dimethylamino)propyl]amino]c
 arboxyl]-1-propyl-1H-pyrrol-3-yl]amino]carbonyl]-1-propyl-1H-pyrrol-3-
 yl]amino]-1,3-dioxopropyl]amino]methyl]-, ethyl ester (9CI) (CA INDEX
 NAME)

PAGE 1-A



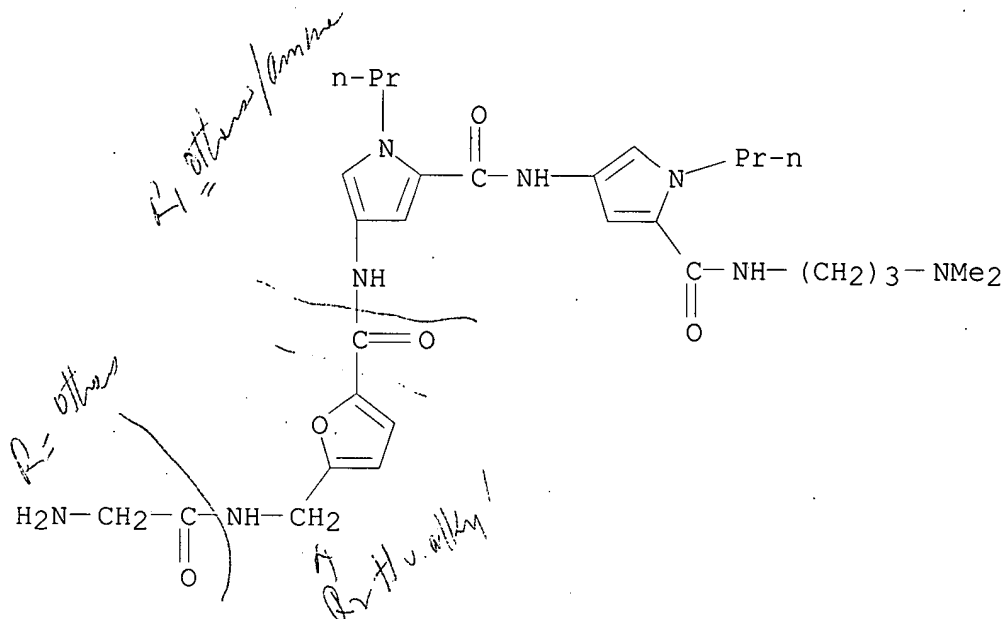
PAGE 2-A



RN 474380-10-4 CAPLUS

CN 1H-Pyrrole-2-carboxamide, 4-[[[5-[[[3-(dimethylamino)propyl]amino]carbonyl]-1-

furan-2-yl]amino]-N-[[[3-(dimethylamino)propyl]amino]carbonyl]-1-propyl-1H-pyrrol-3-yl]-1-propyl- (9CI) (CA INDEX NAME)



AB A novel approach to the synthesis of specific DNA-binding compds. that can selectively bind to DNA regions with specified nucleotide sequence is proposed. The approach is based on the use of a combination of pyrrole(imidazole)carboxamide and new pseudopeptide fragments consisting of glycine residues and unusual amino acid residues containing a five-membered aromatic heterocycle. Using this approach, a heterodimer containing two netropsin-like fragments linked via the new pseudopeptide motif has been synthesized. Exptl. results provide evidence that the conjugates consisting of pyrrole(imidazole)carboxamide units and new pseudopeptide fragments can recognize long DNA sequences.

REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L5 ANSWER 7 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 2002:97586 CAPLUS
 DOCUMENT NUMBER: 137:6375
 TITLE: Cyclic trimer of 5-(aminomethyl)-2-furancarboxylic acid as a novel synthetic receptor for carboxylate recognition
 AUTHOR(S): Chakraborty, Tushar K.; Tapadar, Subhasish; Kiran Kumar, S.
 CORPORATE SOURCE: Indian Institute of Chemical Technology, Hyderabad, 500 007, India
 SOURCE: Tetrahedron Letters (2002), 43(7), 1317-1320
 CODEN: TELEAY; ISSN: 0040-4039

10/814,525

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 137:6375

IT 432550-39-5P 432550-40-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation);

RACT

(Reactant or reagent)

(preparation of cyclic trimer of (aminomethyl)furancarboxylic acid,

NMR, and

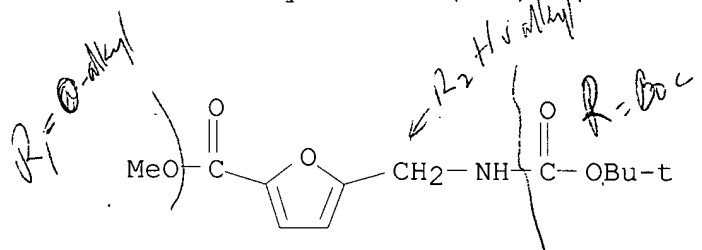
binding of tetrabutylammonium acetate)

RN 432550-39-5 CAPLUS

CN 2-Furancarboxylic acid,

5-[[[(1,1-dimethylethoxy)carbonyl]amino]methyl]-,

methyl ester (9CI) (CA INDEX NAME)



RN 432550-40-8 CAPLUS

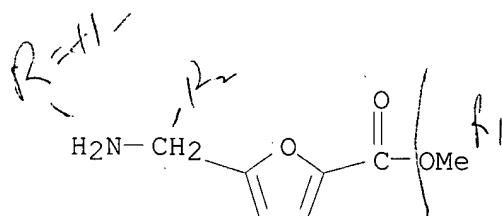
CN 2-Furancarboxylic acid, 5-(aminomethyl)-, methyl ester,
trifluoroacetate

(9CI) (CA INDEX NAME)

CM 1

CRN 73751-06-1

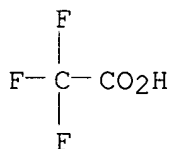
CMF C7 H9 N O3



CM 2

CRN 76-05-1

CMF C2 H F3 O2



AB A novel 18-membered cyclic oligopeptide based on 5-(aminomethyl)-2-furancarboxylic acid (Faa) is developed as an excellent receptor for carboxylate binding having an association constant of $8.64 \times 10^3 \text{ M}^{-1}$ for tetrabutylammonium acetate in CD₃CN. The synthesis of cyclo(Faa)₃ was achieved by a high-yielding cyclotrimerization reaction of the unfunctionalized furan amino acid Faa.

REFERENCE COUNT: 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L5 ANSWER 8 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2001:780851 CAPLUS

DOCUMENT NUMBER: 135:344724

TITLE: Preparation of amino acid amide
and dipeptide derivatives and antiviral drugs
containing the same

INVENTOR(S): Yamazaki, Toru; Maruoka, Hiroshi; Suzuki, Shigeru;
Mukade, Tsutomu; Hirose, Kunitaka; Yanaka, Mikiro;
Yamamoto, Naoki

PATENT ASSIGNEE(S): Kureha Chemical Industry Co., Ltd., Japan

SOURCE: PCT Int. Appl., 226 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001079168	A1	20011025	WO 2001-JP3123	20010411
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
AU 2001048753	A5	20011030	AU 2001-48753	20010411
CA 2405690	A1	20021009	CA 2001-2405690	20010411
EP 1273571	A1	20030108	EP 2001-921809	20010411
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,			

10/814,525

IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
US 2004092556 A1 20040513 US 2002-257340 20021121
US 7098215 B2 20060829
PRIORITY APPLN. INFO.: JP 2000-114067 A 20000414
WO 2001-JP3123 W 20010411

OTHER SOURCE(S): MARPAT 135:344724

IT 369653-20-3P

RL: BAC (Biological activity or effector, except adverse); BSU
(Biological

study, unclassified); SPN (Synthetic preparation); THU (Therapeutic
use);

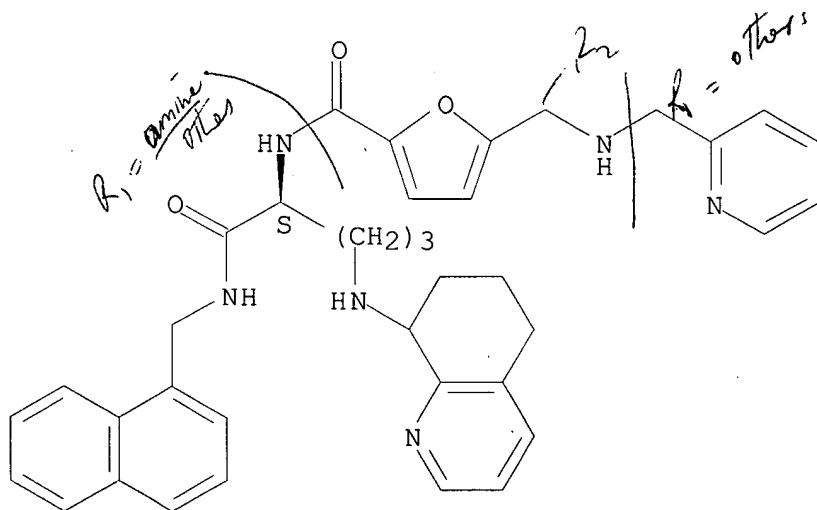
BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of amino acid amide and dipeptide derivs.
as antiretroviral drugs for treatment of AIDS)

RN 369653-20-3 CAPLUS

CN 2-Furancarboxamide,

N-[(1S)-1-[[[(1-naphthalenylmethyl)amino]carbonyl]-4-
[(5,6,7,8-tetrahydro-8-quinoliny)amino]butyl]-5-[[[(2-
pyridinylmethyl)amino]methyl]-, hydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● x HCl

IT 369654-80-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation);
RACT
(Reactant or reagent).

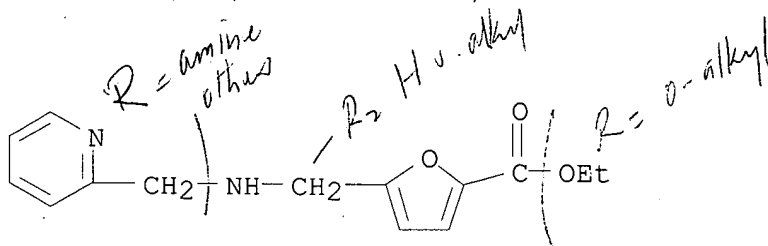
(preparation of amino acid amide and dipeptide derivs.
as antiretroviral drugs for treatment of AIDS)

10/814,525

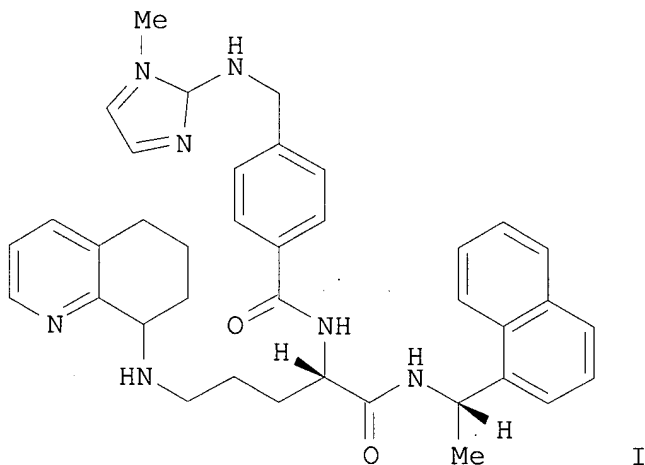
RN 369654-80-8 CAPLUS

CN 2-Furancarboxylic acid, 5-[[[2-pyridinylmethyl)amino]methyl]-, ethyl ester

(9CI) (CA INDEX NAME)



GI



AB Novel nitrogenous compds. represented by general formula

A1-(CH₂)_{n1}-W-X-CH[(CH₂)_{n2}-A2]-Y-D [n₁ = 0-3; n₂ = 0-4; A1, A2 = (un)substituted guanidino or amidino, A3-B1-NR1-, A3-CR2A4-NR1-;

wherein

A3, A4 = (un)substituted 5- to 12-membered mono- or polycyclic heterocyclyl which may be partially saturated; B1 = single bond, CR2R3; R1,

R2, R3 = H, (un)substituted C1-6 alkyl, C2-6 alkenyl or alkynyl, or R2 is

bonded to R1 or R3 to form a ring; W = (un)substituted C1-7 alkylene, C2-7

alkenylene, C2-7 alkynylene, or group B [wherein group B = C3-10 mono- or

polycyclic alkylene, (un)substituted 6- to 15-membered ring mono or polycyclic aryl which may be partially saturated, or (un)substituted 6- to

15-membered ring mono or polycyclic heterocyclyl optionally containing 1-3 of

O, S, and N atoms and optionally partially saturated]; D =
 -W1-G1-G2-W2-G3; W1
 = O, S, (un)substituted NR4 or NHNR4 (R4 = H, -G1'-G1'-G2'-W2'-G3');
 G1,
 G1' = single bond, (un)substituted C1-10 alkylene or C2-10 alkenylene
 or
 alkynylene, etc.; G2, G2' = single bond, group B; W2, W2' = single
 bond, O,
 S, (un)substituted NH, etc.; G3, G3' = H, (un)substituted and linear or
 branched C1-6 alkyl, C2-6 alkenyl, group B, etc.; X = -Z1-Z-Z2-;

wherein Z

= CO, S, SO, SO2, (un)substituted CH2; Z1, Z2 = single bond, O, S,
 (un)substituted NH; Y = CO, S, SO, SO2] are prepared These compds.
 possess

excellent antiretroviral activity and protective activity for cells
 infected with HIV-1 and are useful for the treatment of AIDS or
 AIDS-related complications. Thus, α -deprotection of
 Na-Fmoc-N δ -Boc-L-ornithine (1S)-1-(1-naphthyl)ethylamide with
 diethylamine in DMF followed by condensation with 4-[N-Boc-N-(1-
 methylimidazol-2-yl)aminomethyl]benzoic acid using 1-ethyl-3-(3-
 dimethylaminopropyl)carbodiimide hydrochloride and HOBt in DMF gave
 α -[4-[[1-(1-methylimidazol-2-yl)amino]methyl]benzoyl]-N δ -Boc-L-
 ornithine N-[(1S)-1-(1-naphthyl)ethyl]amide which underwent
 N δ -deprotection with a mixture of 4 M HCl/dioxane and methanol at room
 temperature for 2 h and reductive amination with

5,6,7,8-tetrahydroquinolin-8-

one using sodium cyanoborohydride in methanol, followed by treatment
 with

HCl to give

(2S)-2-[[4-[[1-(1-methylimidazol-2-yl)amino]methyl]benzoyl]amino
]-5-(5,6,7,8-tetrahydroquinolin-8-ylamino)valeric acid
 N-[(1S)-1-(1-naphthyl)ethyl]amide hydrochloride (I.xHCl). I.xHCl in
 vitro

EC50 of 0.025 μ M for inhibiting the cell injury of MT-4 cells infected
 with HIV-1IIIB. A tablet formulation containing α -[4-(N-2-

picolylaminomethyl)-1-naphthylcarbonyl]-L-arginyl-D-3-(1-naphthyl)alanine
 was prepared

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR
 THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE
 FORMAT

L5 ANSWER 9 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1999:566647 CAPLUS

DOCUMENT NUMBER: 131:286349

TITLE: A straightforward synthesis of α -furfuryl amide
 via Lewis acid-mediated allylic substitution

AUTHOR(S): Sun, Xue-Long; Kai, Toshitsugu; Takayanagi,
 Hiroaki;

Furuhata, Kimio

CORPORATE SOURCE: School Pharmaceutical Sciences, Kitasato Univ.,
 Tokyo,

10/814,525

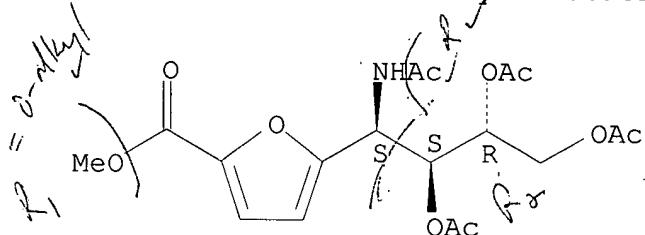
108, Japan
SOURCE: Synlett (1999), (9), 1399-1400
CODEN: SYNLES; ISSN: 0936-5214
PUBLISHER: Georg Thieme Verlag
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 131:286349
IT 246247-96-1P 246248-05-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation);
RACT

(Reactant or reagent)
(preparation of α -furfuryl amide via Lewis acid-mediated allylic
substitution)

RN 246247-96-1 CAPLUS

CN 2-Furancarboxylic acid, 5-[(1S,2S,3R)-1-(acetylamino)-2,3,4-
tris(acetyloxy)butyl]-, methyl ester (9CI) (CA INDEX NAME)

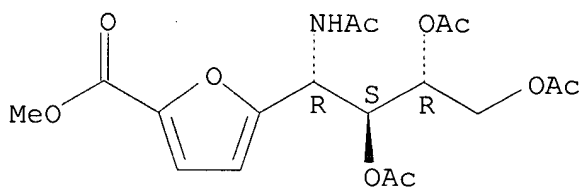
Absolute stereochemistry. Rotation (-).



RN 246248-05-5 CAPLUS

CN 2-Furancarboxylic acid, 5-[(1R,2S,3R)-1-(acetylamino)-2,3,4-
tris(acetyloxy)butyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



IT 246247-97-2P 246247-98-3P 246247-99-4P

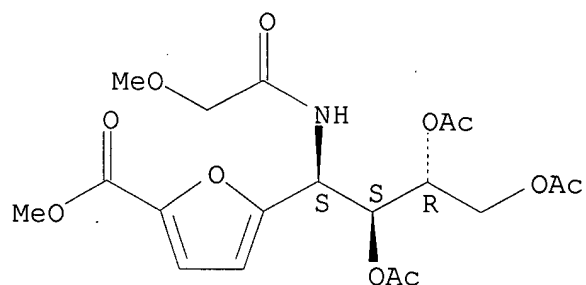
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of α -furfuryl amide via Lewis acid-mediated allylic
substitution)

RN 246247-97-2 CAPLUS

CN 2-Furancarboxylic acid, 5-[(1S,2S,3R)-2,3,4-tris(acetyloxy)-1-
[(methoxyacetyl)amino]butyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

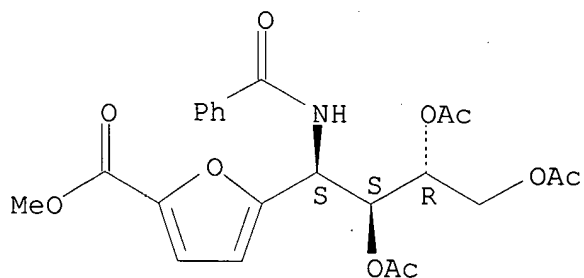
10/814,525



RN 246247-98-3 CAPLUS

CN 2-Furancarboxylic acid, 5-[(1S,2S,3R)-2,3,4-tris(acetyloxy)-1-(benzoylamino)butyl]-, methyl ester (9CI) (CA INDEX NAME)

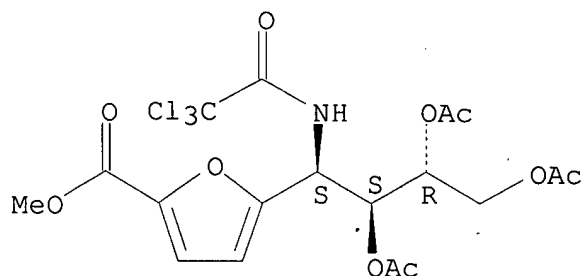
Absolute stereochemistry.



RN 246247-99-4 CAPLUS

CN 2-Furancarboxylic acid, 5-[(1S,2S,3R)-2,3,4-tris(acetyloxy)-1-[(trichloroacetyl)amino]butyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



AB α -[5-(Methoxycarbonyl)furfuryl] amide was prepared by Lewis-acid-catalyzed allylic substitution of the corresponding α -(methoxycarbonyl)furfuryl carbinol acetate with various nitriles as nucleophiles, and the so formed amides were subjected to oxidative cleavage of the furan ring to afford N-protected polyhydroxy amino acids.

10/814,525

REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR
THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

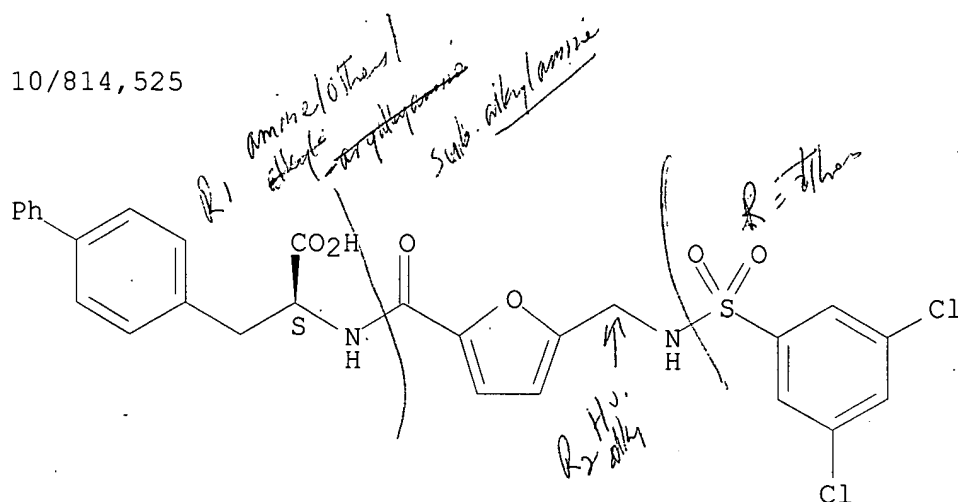
L5 ANSWER 10 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1999:354480 CAPLUS
DOCUMENT NUMBER: 131:5526
TITLE: Preparation of p-aminomethylbenzoyl amino
acid derivatives
INVENTOR(S): Delaszlo, Stephen E.; Hagmann, William K.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 92 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9926923	A1	19990603	WO 1998-US24410	19981117
W:	AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GD, GE, HR, HU, ID, IL, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
US 6191171	B1	20010220	US 1998-191489	19981113
AU 9914114	A	19990615	AU 1999-14114	19981117
PRIORITY APPLN. INFO.:			US 1997-66228P	P 19971120
			GB 1997-27220	A 19971223
			WO 1998-US24410	W 19981117

OTHER SOURCE(S): MARPAT 131:5526
IT 225527-86-6P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL
(Biological
study); PREP (Preparation); USES (Uses)
(preparation of p-aminomethylbenzoyl amino acid derivs.
as cell adhesion inhibitors)
RN 225527-86-6 CAPLUS
CN [1,1'-Biphenyl]-4-propanoic acid, α -[[[5-[[[(3,5-
dichlorophenyl)sulfonyl]amino]methyl]-2-furanyl]carbonyl]amino]-,
(α S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

10/814,525



AB P-aminomethylbenzoyl amino acids R1-L-NR2CHR4-Ar-
CONR3CR5R6-X-Z [Ar = (un)substituted 1,4-phenylene or -heteroarylene;

L =

CO, OCO, NHCO or substituted iminocarbonyl, SO2, P(O)OH or esters,
COCO; X

= a bond, CH2 or substituted methylene; Z = CO2H or esters or amides,
PO3H2, PH(O)OH, S(O)mOH or their esters (m = 0-2), 5-tetrazolyl; R1 =
(un)substituted alkyl, alkenyl, alkynyl, Cy (Cy = cycloalkyl,
heterocyclyl, aryl, heteroaryl), Cy-alkyl, -alkenyl, or -alkynyl; R2 =

H,

(un)substituted alkyl, Cy, Cy-alkyl; R3 = H, (un)substituted alkyl or

Cy;

R4 = H or R1; or R4 is joined to Ar at the ortho position; R5, R6 = H,
alkyl, alkenyl, alkynyl, Cp, etc.] were prepared as antagonists of

VLA-4

and/or $\alpha 4\beta 7$ and as such are useful in the inhibition or
prevention of cell adhesion and cell-adhesion mediated pathologies.

Thus,

N-[4-[(3,5-dichlorobenzenesulfonyl)amino]methyl]benzoyl]-L-4-
fluorophenylalanine was prepared by coupling of

N-Fmoc-4-aminomethylbenzoic

acid (Fmoc = fluorenylmethoxycarbonyl) with L-4-fluorophenylalanine
tert-Bu ester, followed by deprotection, sulfonylation with
3,5-dichlorophenylsulfonyl chloride, and ester cleavage.

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR
THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L5 ANSWER 11 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1995:356700 CAPLUS

DOCUMENT NUMBER: 122:133849

TITLE: Preparation of peptides cyclocondensed to
heterocyclic

glycoprotein rings useful as antagonists of platelet

IIb/IIIa

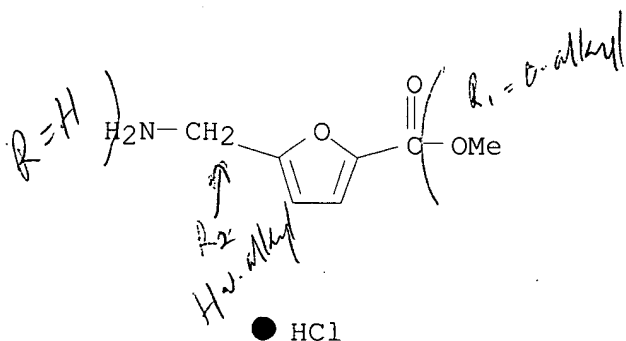
INVENTOR(S): Wells, Gregory James; Wityak, John; Parthasarathy,
Anju; DeGrado, William Frank; Jackson, Sharon Anne;

10/814,525

PATENT ASSIGNEE(S): Mousa, Shaker Ahmed
SOURCE: Du Pont Merck Pharmaceutical Co., USA
PCT Int. Appl., 179 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9411398	A1	19940526	WO 1993-US10710	19931112
W: AU, BB, BG, BR, BY, CA, CZ, FI, HU, JP, KP, KR, KZ, LK, LV, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SK, UA, UZ, VN				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2148945	A1	19940526	CA 1993-2148945	19931112
AU 9455942	A	19940608	AU 1994-55942	19931112
EP 672059	A1	19950920	EP 1994-901303	19931112
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
JP 08503217	T	19960409	JP 1993-512243	19931112
US 5773411	A	19980630	US 1994-338977	19941114
US 5849693	A	19981215	US 1997-820424	19970312
PRIORITY APPLN. INFO.:			US 1992-978475	A 19921118
			WO 1993-US10710	W 19931112
			US 1994-338977	A1 19941114

OTHER SOURCE(S): MARPAT 122:133849
IT 160938-84-1P, Methyl 5-aminomethyl-2-furoate hydrochloride
160938-85-2P 160938-87-4P 160938-88-5P
160938-89-6P 160938-91-0P 160938-93-2P
160938-94-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as intermediate for cyclopeptide derivative
antithrombotic)
RN 160938-84-1 CAPLUS
CN 2-Furancarboxylic acid, 5-(aminomethyl)-, methyl ester, hydrochloride
(9CI) (CA INDEX NAME)

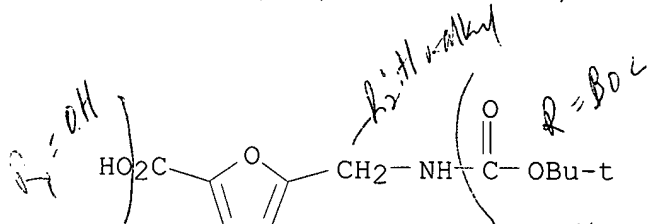


10/814,525

RN 160938-85-2 CAPLUS

CN 2-Furancarboxylic acid,

5-[[[(1,1-dimethylethoxy)carbonyl]amino]methyl]-
(9CI) (CA INDEX NAME)



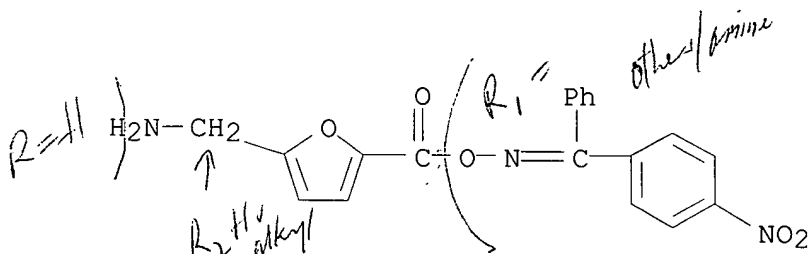
RN 160938-87-4 CAPLUS

CN Methanone, (4-nitrophenyl)phenyl-, O-[[5-(aminomethyl)-2-furanyl]carbonyl]oxime, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 160938-86-3

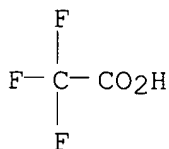
CMF C19 H15 N3 O5



CM 2

CRN 76-05-1

CMF C2 H F3 O2

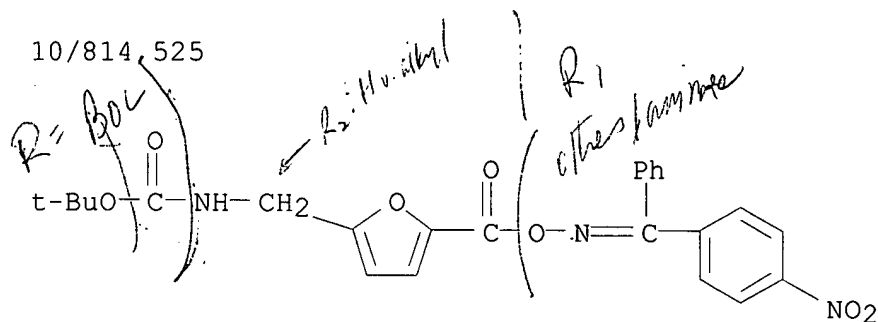


RN 160938-88-5 CAPLUS

CN Carbamic acid,

[[5-[[[(4-nitrophenyl)phenylmethylene]amino]oxy]carbonyl]-2-furanyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

10/814,525

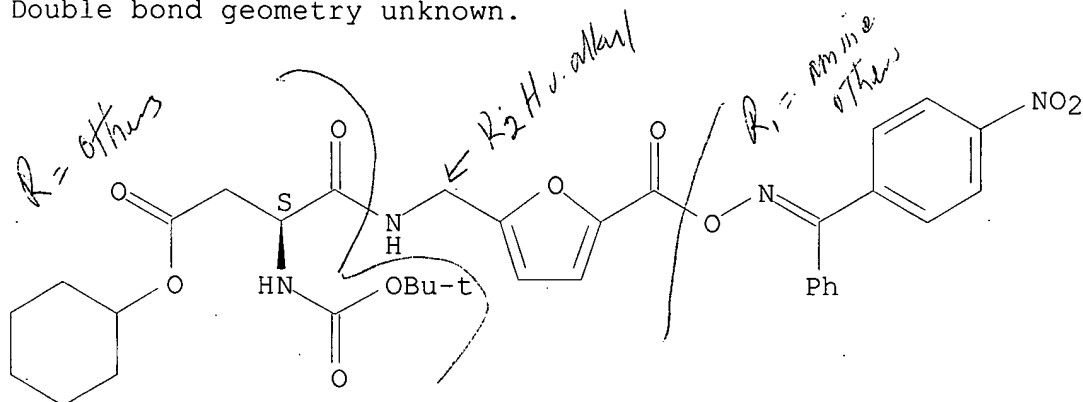


RN 160938-89-6 CAPLUS

CN Butanoic acid, 3-[[[(1,1-dimethylethoxy)carbonyl]amino]-4-[[[5-[[[(4-nitrophenyl)phenylmethylene]amino]oxy]carbonyl]-2-furanyl]methyl]amino]-4-oxo-, cyclohexyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry unknown.



RN 160938-91-0 CAPLUS

CN Butanoic acid,
 3-amino-4-[[[5-[[[(4-nitrophenyl)phenylmethylene]amino]oxy]
]carbonyl]-2-furanyl]methyl]amino]-4-oxo-, cyclohexyl ester, (S)-,
 mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

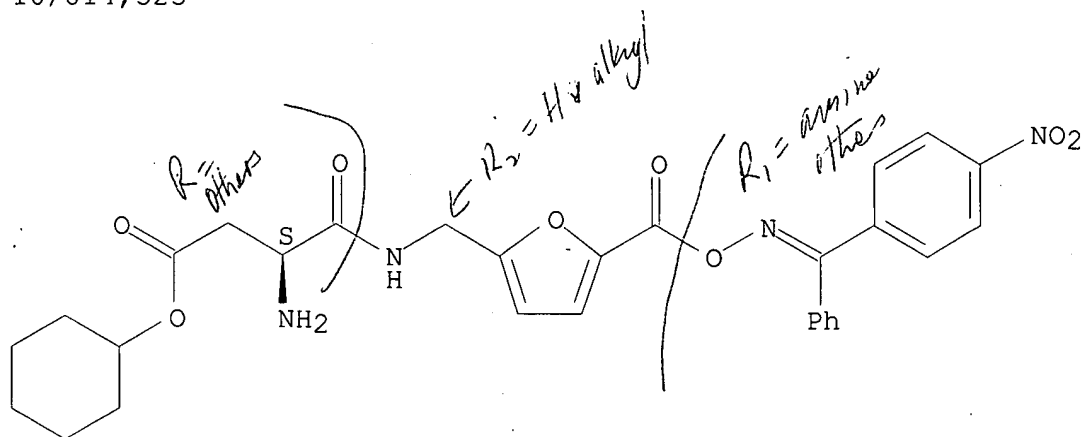
CRN 160938-90-9

CMF C29 H30 N4 O8

Absolute stereochemistry.

Double bond geometry unknown.

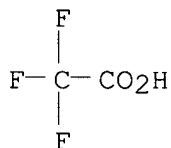
10/814,525



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 160938-93-2 CAPLUS

CN L- α -Asparagine, N2-[N-[N5-[imino[[(4-methylphenyl)sulfonyl]amino]methyl]-N2-methyl-N2-D-valyl-L-ornithyl]glycyl]-N-[[5-[[[(4-nitrophenyl)phenylmethylene]amino]oxy]carbonyl]-2-furanyl]methyl]-, cyclohexyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

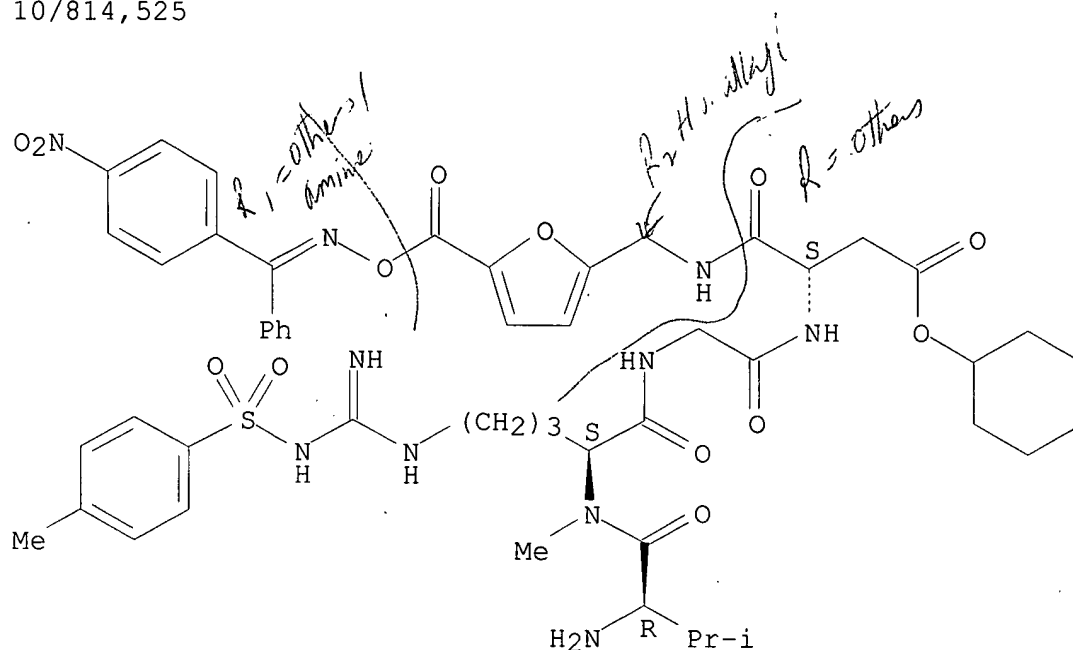
CRN 160938-92-1

CMF C50 H62 N10 O13 S

Absolute stereochemistry.

Double bond geometry unknown.

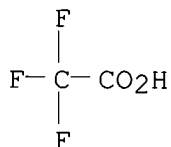
10/814,525



CM 2

CRN 76-05-1

CMF C2 H F3 O2



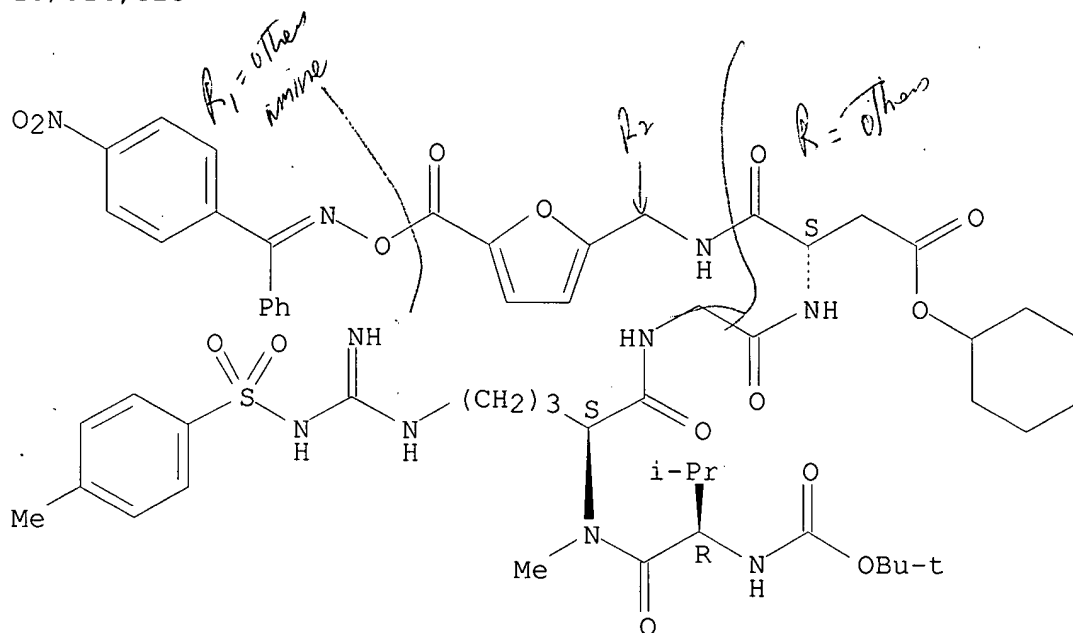
RN 160938-94-3 CAPLUS

CN L- α -Asparagine, N2-[N-[N2-[N-[(1,1-dimethylethoxy)carbonyl]-D-valyl]-N5-[imino[[[4-methylphenyl)sulfonyl]amino]methyl]-N2-methyl-L-

ornithyl]glycyl]-N-[[5-[[[[(4-nitrophenyl)phenylmethylene]amino]oxy]carbonyl]-2-furanyl]methyl]-, cyclohexyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry unknown.



GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. [I; R31 = 5-14 membered (unsatd.) (aromatic) heterocyclic ring and N-oxide forms thereof; n, m = 0-3; R1, R22 = H, (substituted) alkyl, alkenyl, alkynyl, cycloalkyl, bicycloalkyl, aryl, heterocyclyl; R1R2, R1R21, R22R23 = atoms to form (substituted) carbocyclic ring; R2 = H, alkyl; R21, R23 = H, (halo)alkyl, alkoxy, PhCH2; J, K, M = amino acid residues; L = Y(CH2)vCO; Y = NH, alkylimino, O, S; v = 1, 2], were prepared. Thus, title compound II was prepared as the trifluoroacetate salt via cyclocondensation of aminothiazoleacetate derivative III (preparation given) with BOC-D-Val-NMeArg(Tos)-Gly-OH. Title compds. inhibited platelet aggregation with IC50's of <1 μ M.

L5 ANSWER 12 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1992:645218 CAPLUS

DOCUMENT NUMBER: 117:245218

TITLE: Effect of amidinonaphthol derivatives on the ligand binding site of the platelet integrin receptor GPIIb-IIIa. Chemical cross-linking approach

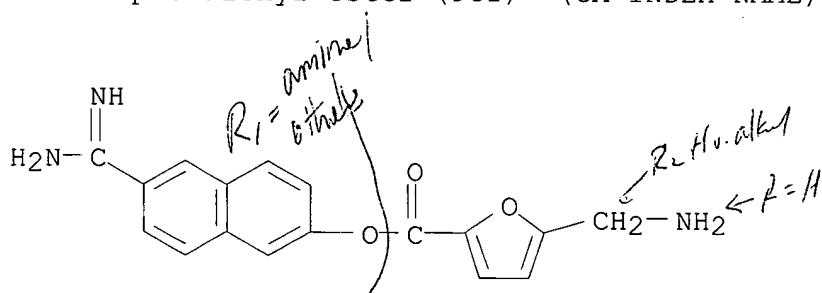
AUTHOR(S): Hodohara, Keiko; Fujiyama, Yoshihide; Inoue, Tetsuya;

Kitoh, Katsuyuki; Hirotsu, Shuichi; Niwakawa, Mitsuyuki; Andoh, Akira; Bamba, Tadao; Hosoda,

Shiro;

10/814,525

CORPORATE SOURCE: Yasunaga, Kohjiro
2nd Dep. Intern. Med., Shiga Univ. Med. Sci., Otsu,
520-21, Japan
SOURCE: Nippon Kessen Shiketsu Gakkaishi (1992), 3(3),
163-8
CODEN: NKSSEL; ISSN: 0915-7441
DOCUMENT TYPE: Journal
LANGUAGE: Japanese
IT 103232-13-9, FUT 6258
RL: BIOL (Biological study)
(platelet integrin receptor glycoprotein IIb/IIIa binding of RGD
peptides inhibition by, of humans)
RN 103232-13-9 CAPLUS
CN 2-Furancarboxylic acid, 5-(aminomethyl)-, 6-(aminoiminomethyl)-2-
naphthalenyl ester (9CI) (CA INDEX NAME)



AB The authors have previously reported that amidinonaphthol derivs., which have been developed as synthetic serine protease inhibitors, inhibited the binding of adhesive proteins, such as fibrinogen and fibronectin, to ADP-stimulated platelets in a competitive manner. Because this effect was similar to those of Arg-Gly-Asp (RGD) peptides, the effect of amidinonaphthol derivs. on the chemical crosslinking of RGD-peptides to stimulated platelets was studied. The radiolabeled peptides including RGD-sequence (RGDSPASSKP and KYGRGDS) were coupled to platelets by subsequent addition of chemical crosslinking agent. Platelet membrane glycoprotein IIb-IIIa (GPIIb-IIIa) became radiolabeled with the RGD peptide, and stimulation with ADP increased the extent of crosslinking. Crosslinking of the labeled peptides to ADP-stimulated platelets was inhibited by excess of nonlabeled RGD peptides, an amino acid sequence corresponding to the carboxyl terminus of τ -chain of fibrinogen, fibrinogen and fibronectin, but not by Gly-Arg-Gly-Glu-Ser-Pro (GRGESP). The crosslinking reaction was inhibited by addition of amidinonaphthol derivs., such as nafamostat mesilate or FUT-6258, but less effectively by gabexate mesilate, which does not have amidinonaphthol in the structure. The inhibitory effect of nafamostat mesilate was dose-dependent, and 50% inhibition was obtained at the concentration of 6×10^{-5} M. This result suggested that amidinonaphthol derivs.

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inhibited the binding of adhesive proteins to platelets by blockade of
RGD peptide binding sites on GPIIb-IIIa.

=> log y

COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION
71.49	243.80

FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE	TOTAL
ENTRY	SESSION
-9.36	-9.36

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